Claims

1. Compound of the formula

$$R^{6} \xrightarrow{X} R^{5} NR^{3}R^{4} \qquad (I)$$

where

X is methylene or hydroxymethylene;

R¹ a) is hydrogen; or

b) is C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkanoyl, C_1 - C_8 -alkoxycarbonyl, aryl- C_0 - C_4 -alkyl or heterocyclyl- C_0 - C_4 -alkyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, halogen, cyano, oxide, oxo, trifluoromethyl, C_1 - C_8 -alkoxy, C_1 - C_8 -alkoxycarbonyl, aryl or heterocyclyl;

 R^2 a) is C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkylsulphonyl, C_3 - C_8 -cycloalkylsulphonyl, aryl- C_0 - C_8 -alkylsulphonyl, heterocyclylsulphonyl, C_3 - C_{12} -cycloalkyl- C_1 - C_8 -alkanoyl, C_3 - C_{12} -cycloalkyl- C_3 - C_8 -cycloalkanoyl, aryl- C_1 - C_8 -alkanoyl, heterocyclyl- C_1 - C_8 -alkanoyl, aryl- C_3 - C_8 -cycloalkanoyl, C_1 - C_8 -alkoxycarbonyl, optionally N-mono or N,N-di- C_1 - C_8 -alkylated carbamoyl- C_0 - C_8 -alkyl, aryl- C_0 - C_4 -alkyl or heterocyclyl- C_0 - C_4 -alkyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_3 - C_8 -cycloalkoxy, amino, C_{1-6} -alkylamino, di- C_{1-6} -alkylamino, C_0 - C_6 -alkylcarbonylamino, halogen, cyano, hydroxyl, oxide, oxo, trifluoromethyl, C_1 - C_8 -alkoxy, optionally N-mono or N,N-di- C_1 - C_8 -alkylated carbamoyl, C_1 - C_8 -alkoxycarbonyl, C_{1-6} -alkylenedioxy, aryl or heterocyclyl; or

b) together with R_1 and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated 4-8-membered heterocyclic ring which may contain an additional nitrogen, oxygen or sulphur atom or an -SO- or -SO2- group, and the additional nitrogen atom may optionally be substituted by C_1 - C_8 -alkyl, C_1 - C_8 -alkanoyl, C_1 - C_8 -alkoxycarbonyl, aryl or heterocyclyl radicals, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 members and the second ring may also contain a nitrogen, oxygen or sulphur atom or an -SO- or -SO2- group, and the nitrogen atom of the second ring may optionally be substituted by C_1 - C_8 -alkyl, C_1 - C_8 -alkanoyl, C_1 - C_8 -alkoxycarbonyl, aryl or heterocyclyl radicals,

and all ring systems mentioned may be substituted by 1-4 C_1 - C_8 -alkyl, halogen, hydroxyl, oxide, oxo, trifluoromethyl, C_1 - C_8 -alkoxy, C_1 - C_8 -alkoxy- C_1 - C_8 -alkylamino, C_1 - C_8 -alkylamino, C_1 - C_8 -alkylamino, C_1 - C_8 -alkylamino, C_1 - C_8 -alkyl, aryloxy- C_0 - C_4 -alkyl, aryloxy- C_0 - C_4 -alkyl, aryloxy- C_0 - C_4 -alkyl, heterocyclyloxy- C_0 - C_4 -alkyl, heterocyclyl- C_0 - C_4 -alkyl, heterocyclyl- C_0 - C_4 -alkyl- C_1 - C_8 -alkoxy or heterocyclyloxy- C_0 - C_4 -alkyl- C_1 - C_8 -alkoxy; C_1 - C_8 -alkoxy-alkoxy or heterocyclyloxy- C_0 - C_4 -alkyl, C_1 - C_8 -alkoxy-alkoxy- C_1 - C_8 -alkoxy- C_1 - C_1 -

R⁵ are each independently hydrogen, C₁-C₈-alkyl or, together with the carbon atom to which they are bonded, are a C₃-C₈-cycloalkylidene radical;

(A) R⁶ is a heterocyclyl radical which is substituted by from one to four radicals selected from C_1 - C_6 -alkyl, C_{3-8} -cycloalkyl, C_{3-8} -cycloalkoxy, C_{3-8} -cycloalkoxy- C_{1-6} -alkyl, C_{3-8} cycloalkoxy-C₁₋₆-alkoxy, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, amino-C₁₋₆-alkyl, amino-C₂₋₇-alkoxy, polyhalo-C₁₋₆-alkyl, polyhalo-C₂₋₇-alkoxy, nitro, amino, C₂-C₆-alkenyl, C₁-C₆-alkoxy, C₁-C₆-alkanoyloxy, hydroxyl, halogen, oxide, oxo, cyano, carbamoyl, carboxy, C₁-C₆-alkylenedioxy, phenyl, phenoxy, phenylthio, phenyl-C₁-C₆-alkyl or phenyl-C₁-C₆-alkoxy, each of which are optionally substituted by halogen, C₁-C₆-alkyl, C_{1-6} -alkoxy, hydroxyl, C_1 - C_6 -alkylamino, di- C_1 - C_6 -alkylamino, C_{1-6} -alkoxycarbonyl, hydroxy-C₁₋₆-alkyl or trifluoromethyl, pyridylcarbonylamino-C₁₋₆-alkyl, C₂₋₇-alkenyloxy, C_{1-6} -alkoxy- C_{1-6} -alkoxy, C_{1-6} -alkoxy- C_{1-6} -alkoxy- C_{1-6} -alkoxy, methoxybenzyloxy. hydroxybenzyloxy, methylenedioxybenzyloxy, dioxolanyl-C₁₋₆-alkoxy, C₃₋₈-cycloalkyl-C₁₋₆ ₆-alkyl, C₃₋₈-cycloalkyl-C₁₋₆-alkoxy, hydroxy-C₂₋₇-alkoxy, carbamoyloxy-C₂₋₇-alkoxy, pyridylcarbamoyloxy-C₂₋₇-alkoxy, benzoyloxy-C₂₋₇-alkoxy, C₁₋₆-alkoxycarbonyl, C₁₋₆alkylcarbonylamino, C_{1-6} -alkylcarbonylamino- C_{1-6} -alkyl, C_{1-6} -alkylcarbonylamino- C_{2-7} alkoxy, (N-C₁₋₆-alkyl)-C₁₋₆-alkylcarbonylamino-C₁₋₆-alkyl, (N-C₁₋₆-alkyl)-C₁₋₆alkylcarbonylamino-C₂₋₇-alkoxy, C₃₋₈-cycloalkylcarbonylamino-C₁₋₆-alkyl, C₃₋₈cycloalkylcarbonylamino-C₂₋₇-alkoxy, C₁₋₆-alkoxy-C₁₋₆-alkyl, hydroxy-C₁₋₆-alkyl, hydroxy- C_{2-7} -alkoxy- C_{1-6} -alkyl, hydroxy- C_{2-7} -alkoxy- C_{1-6} -alkoxy, C_{1-6} -alkoxycarbonylamino- C_{1-6} -alkoxy- C_{1-6} - C_{1-6 alkyl, C₁₋₆-alkoxycarbonylamino-C₂₋₇-alkoxy, C₁₋₆-alkylaminocarbonylamino-C₁₋₆-alkyl, C_{1-6} -alkylaminocarbonylamino- C_{2-7} -alkoxy, C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkyl, C_{1-6} alkylaminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy-C₁₋₆-alkyl, di-C₁₋₆alkylaminocarbonyl-C₁₋₆-alkyl, di-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, C₁₋₆alkylcarbonyloxy-C₁₋₆-alkyl, C₁₋₆-alkylcarbonyloxy-C₂₋₆-alkoxy, cyano-C₁₋₆-alkyl, cyano-

 C_{1-6} -alkoxy, 2-oxooxazolidinyl- C_{1-6} -alkyl, 2-oxo-oxazolidinyl- C_{1-6} -alkoxy, C_{1-6} -alkoxy alkoxycarbonyl- C_{1-6} -alkyl, C_{1-6} -alkoxycarbonyl- C_{1-6} -alkoxy, C_{1-6} -alkylsulphonylamino- C_{1-6} -alkoxy $_{6}$ -alkyl, C_{1-6} -alkylsulphonylamino- C_{2-7} -alkoxy, (N- C_{1-6} -alkyl)- C_{1-6} -alkylsulphonylamino- C_{1-6} -alk 6-alkyl, (N-C1-6-alkyl)-C1-6-alkylsulphonylamino-C2-7-alkoxy, C1-6-alkylamino-C1-6-alkyl, C_{1-6} -alkylamino- C_{2-7} -alkoxy, di- C_{1-6} -alkylamino- C_{1-6} -alkylamino- C_{2-7} -alkoxy, C_{1-6} -alkylsulphonyl- C_{1-6} -alkyl, C_{1-6} -alkylsulphonyl- C_{1-6} -alkyl, carboxy- C_{1-6} -alkyl, carboxy-C₁₋₆-alkoxy, carboxy-C₁₋₆-alkoxy-C₁₋₆-alkyl, C₁₋₆-alkoxy-C₁₋₆-alkylcarbonyl, acyl- C_{1-6} -alkoxy- C_{1-6} -alkyl, (N- C_{1-6} -alkyl)- C_{1-6} -alkoxycarbonylamino, (N-hydroxy)- C_{1-6} alkylaminocarbonyl-C₁₋₆-alkyl, (N-hydroxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, (Nhydroxy)aminocarbonyl-C₁₋₆-alkyl, (N-hydroxy)aminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkoxyaminocarbonyl-C₁₋₆-alkyl, 6-alkoxyaminocarbonyl-C₁₋₆-alkoxy, (N-C₁₋₆-alkoxy)-C₁₋₆alkylaminocarbonyl-C₁₋₆-alkyl, (N-C₁₋₆-alkoxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, (Nacyl)- C_{1-6} -alkoxy- C_{1-6} -alkylamino, C_{1-6} -alkoxy- C_{1-6} -alkylcarbamoyl, (N- C_{1-6} -alkyl)- C_{1-6} -alkyl alkoxy-C₁₋₆-alkylcarbamoyl, C₁₋₆-alkoxy-C₁₋₆-alkylcarbonyl, C₁₋₆-alkoxy-C₁₋₆alkylcarbonylamino, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxy-C₁₋₆-alkylcarbonylamino, 1-C₁₋₆-alkoxy-C₁₋₆-alkylimidazol-2-yl, 1-C₁₋₆-alkoxy-C₁₋₆-alkyltetrazol-5-yl, 5-C₁₋₆-alkoxy-C₁₋₆alkyltetrazol-1-yl, 2-C₁₋₆-alkoxy-C₁₋₆-alkyl-4-oxoimidazol-1-yl, carbamoyl-C₁₋₆-alkyl, carbamoyl-C₁₋₆-alkoxy, C₁₋₆-alkylcarbamoyl, di-C₁₋₆-alkylcarbamoyl, C₁₋₆-alkylsulphonyl, C₁₋₆-alkylamidinyl, acetamidinyl-C₁₋₆-alkyl, O-methyloximyl-C₁₋₆-alkyl, O,Ndimethylhydroxylamino-C₁₋₆-alkyl, C₃₋₆-cycloalkyl-C₁₋₆-alkanoyl, aryl-C₁₋₆-alkanoyl or heterocyclyl-C₁₋₆-alkanoyl, or else pyridyl, pyridyloxy, pyridylthio, pyridylamino, pyridyl- C_{1-6} -alkyl, pyridyl- C_{1-6} -alkoxy, pyrimidinyl, pyrimidinyloxy, pyrimidinylthio, pyrimidinylamino, pyrimidinyl-C₁₋₆-alkyl, pyrimidinyl-C₁₋₆-alkoxy, thienyl, thienyl-C₁₋₆alkyl, thienyl-C₁₋₆-alkoxy, furyl, furyl-C₁₋₆-alkyl or furyl-C₁₋₆-alkoxy, each of which is optionally substituted by halogen, C₁₋₆-alkyl, C₁₋₆-alkoxy or dihydroxy-C₁₋₆alkylaminocarbonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]-triazol-1-ylalkyl, [1,2,4]-triazol-1ylalkoxy, [1,2,4]-triazol-4-ylalkyl, [1,2,4]-triazol-4-ylalkoxy, [1,2,4]-oxadiazol-5-ylalkyl, [1,2,4]-oxadiazol-5-ylalkoxy, 3-methyl-[1,2,4]-oxadiazol-5-ylalkyl, 3-methyl-[1,2,4]oxadiazol-5-ylalkoxy, 5-methyl-[1,2,4]-oxadiazol-3-ylalkyl, 5-methyl-[1,2,4]-oxadiazol-3ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1-ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5-methyl-tetrazol-1-ylalkyl, 5-methyl-tetrazol-1ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxopyrrolidinylalkyl, 2-oxo-pyrrolidinylalkoxy, imidazolylalkyl, imidazolylalkoxy, 2-methylimidazolylalkyl, 2-methyl-imidazolylalkoxy, N-methylpiperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3-acetamidomethylpyrrolidinyl, 3-C₁₋₆-alkoxy-C₁₋₆-alkyl-pyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, 2-oxo-imidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl, 2-oxotetrahydropyrimidinyl and the -O-CH₂CH(OH)CH₂NR_x radical where NR_x is a mono- or di-C₁₋₆-alkylamino, piperidino, morpholino, piperazino or N-methylpiperazino radical; or

(B) R⁶ is phenyl substituted by C₁-C₆-alkylenedioxy, furyl, thienyl, pyridyl, pyrimidyl, indolyl, quinolinyl, pyrazinyl, triazolyl, imidazolyl, benzothiazolyl, pyranyl, tetrahydropyranyl, azetidinyl, morpholinyl, tetrahydroquinolyl, tetrahydroisoquinolyl, quinazolinyl, quinoxalinyl, isoquinolyl, benzo[b]thienyl, isobenzofuranyl, benzoimidazolyl, 2oxobenzoimidazolyl, oxazolyl, thiazolyl, pyrrolyl, pyrazolyl, triazinyl, dihydrobenzofuranyl, 2-oxodihydrobenzo [d][1,3]oxazinyl, 4-oxodihydroimidazolyl, 5oxo-4H[1,2,4]triazinyl, 3-oxo-4H-benzo [1,4]thiazinyl, tetrahydroquinoxalinyl, 1,1,3trioxodihydro-2H- $1\lambda^6$ -benzo[1,4]thiazinyl, 1-oxopyridyl, dihydro-3Hbenzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 2-oxotetrahydrobenzo[e][1,4]diazepinyl, 2-oxodihydrobenzo[e][1,4]diazepinyl, 1Hpyrrolizinyl, phthalazinyl, 1-oxo-3H-isobenzofuranyl, 4-oxo-3H-thieno[2,3-d] pyrimidinyl, 3-oxo-4H-benzo[1,4]oxazinyl, [1,5]naphthyridyl, dihydro-2H-benzo [1,4]thiazinyl, 1,1-dioxodihydro-2H-benzo[1,4]thiazinyl, 2-oxo-1H-pyrido[2,3-b] [1,4]oxazinyl, dihydro-1H-pyrido[2,3-b][1,4]oxazinyl, 1H-pyrrolo[2,3-b]pyridyl, benzo [1,3]dioxolyl, benzooxazolyl, 2-oxobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl, benzofuranyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, tetrahydropyranyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopiperidinyl, 2-oxopyrrolidinyl, 2-oxo[1,3]oxazinyl, 2-oxoazepanyl, or 2-oxotetrahydropyrimidinyl:

or a prodrug thereof, which, on in vivo application, release a compound of formula (I) by a

substituted by 1-4 C_1 - C_8 -alkyl, hydroxyl, oxide, oxo, C_1 - C_8 -alkoxy, C_1 - C_8 -alkoxy- C_1 - C_8 -alkoxy, C_1 - C_8 -alkoxy.

5. Compound according to Claim 1 or 2, in which

X is methylene;

R¹ a) is hydrogen; or

b) is C₁-C₈-alkyl or C₃-C₈-cycloalkyl;

 R^2 a) is C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkanoyl, heterocyclyl- C_1 - C_8 -alkanoyl, C_3 - C_{12} -cycloalkyl- C_1 - C_8 -alkanoyl or aryl- C_1 - C_8 -alkanoyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, C_1 - C_8 -alkylamino, cyano, halogen, hydroxyl, C_1 - C_8 -alkanoylamino, C_1 - C_8 -alkoxy, oxide, oxo, trifluoromethyl or aryl; or

b) together with R¹ and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated, 4-8-membered heterocyclic ring which may contain an additional nitrogen or oxygen atom, in which case the additional nitrogen atom may optionally be substituted by C₁-C8-alkyl or C₁-C8-alkanoyl, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 ring members and the second ring may also contain a nitrogen or oxygen atom, and the nitrogen atom of the second ring may optionally be substituted by C₁-C8-alkyl or C₁-C8-alkanoyl, and all ring systems mentioned may be substituted by 1-4 C₁-C8-alkyl, hydroxyl, oxide, oxo, C₁-C8-alkoxy, C₁-C8-alkoxy-C₁-C8-alkoxy, C₁-C8-alkanoylamino or aryloxy-C₀-C4-alkyl-C₁-C8-alkoxy;

R³ is hydrogen;

R⁴ is hydrogen;

 $\ensuremath{\mathsf{R}}^5$ are each independently hydrogen or $\ensuremath{\mathsf{C}}_1\text{-}\ensuremath{\mathsf{C}}_8\text{-alkyl}$, and

R⁶ is as defined in Claim 1.

6. Compound according to one of Claims 1 to 5, in which the R⁶ radical is selected from the group consisting of furyl, thienyl, pyridyl, pyrimidyl, indolyl, quinolinyl, benzoimidazolyl, di-C₁₋₆-alkoxypyrimidinyl, 2- and 5-benzo[b]thienyl, 6- and 7-isoquinolyl, 6- and 7-tetrahydroisoquinolyl, 6- and 7-quinazolinyl, dihydro-3H-benzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 3-oxo-4H-benzo[1,4]oxazinyl, 2-oxobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl or benzofuranyl; and

6- and 7-quinolyl, 6- and 7-isoquinolyl, 6- and 7-tetrahydroquinolyl, oxotetrahydroquinolyl, 6- and 7-tetrahydroisoquinolyl, 6-quinoxalinyl, 6- and 7-quinazolinyl, indolyl, dihydro-3H-

benzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 3-oxo-3,4-dihydro-2Hbenzo[1,4]oxazinyl, 3-oxo-4H-benzo[1,4]oxazinyl, 2-oxobenzooxazolyl, 2-oxo-2,3dihydrobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl, benzofuranyl, 2,3-dihydrobenzothiazinyl, imidazolyl, benzoimidazolyl, pyridinyl, pyrrolo[2,3-b]pyridinyl, pyrrolo[3,2-c]pyridinyl, pyrrolo[2,3-c]pyridinyl, pyrrolo[3,2-b]pyridinyl, [1,2,3]triazolo[1,5a]pyridinyl, [1,2,4]triazolo[4,3-a]pyridinyl, imidazo[1,2-a]pyrimidinyl or imidazo[1,5-a]pyridinyl, each of which is substituted by from one to four radicals selected from C₁₋₆-alkyl, cyano, oxo, oxide, trifluoromethyl, hydroxyl, halogen, carbamoyl, carboxy, C₁₋₆-alkoxy, hydroxy-C₂₋₇alkoxy, C₁₋₆-alkoxy-C₁₋₆-alkoxy, di-C₁₋₆-alkylamino, 2,3-dihydroxypropoxy, 2,3dihydroxypropoxy-C₁₋₆-alkoxy, 2,3-dimethoxypropoxy, methoxybenzyloxy, hydroxybenzyloxy, phenethyloxy, methylenedioxybenzyloxy, dioxolanyl-C₁₋₆-alkoxy, cyclopropyl-C₁₋₆-alkoxy, pyridylcarbamoyloxy-C₁₋₆-alkoxy, 3-morpholino-2-hydroxypropoxy, benzyloxy-C₁₋₆-alkoxy, picolyloxy, C₁₋₆-alkoxycarbonyl, C₁₋₆-alkoxy-C₁₋₆-alkoxy-C₁₋₆-alkyl, C₁₋₆-alkylcarbonylamino, C₁₋₆ ₆-alkylcarbonylamino-C₁₋₆-alkyl, C₁₋₆-alkylcarbonylamino-C₁₋₆-alkoxy, (N-C₁₋₆-alkyl)-C₁₋₆alkylcarbonylamino-C₁₋₆-alkyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkylcarbonylamino-C₁₋₆-alkoxy, C₃₋₆cycloalkylcarbonylamino-C₁₋₆-alkyl, C₃₋₆-cycloalkylcarbonylamino-C₁₋₆-alkoxy, C₁₋₆-alkoxy-C₁₋₆alkyl, hydroxy-C₁₋₆-alkyl, hydroxy-C₂₋₇-alkoxy-C₁₋₆-alkyl, hydroxy-C₂₋₇-alkoxy-C₁₋₆-alkoxy, C₁₋₆alkoxycarbonylamino- $C_{1.6}$ -alkyl, $C_{1.6}$ -alkoxycarbonylamino- $C_{2.7}$ -alkoxy, $C_{1.6}$ alkylaminocarbonylamino-C₁₋₆-alkyl, C₁₋₆-alkylaminocarbonylamino-C₂₋₇-alkoxy, C₁₋₆alkylaminocarbonyl-C₁₋₆-alkyl, C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkylaminocarbonyl- C_{1-6} -alkoxy- C_{1-6} -alkyl, di- C_{1-6} -alkylaminocarbonyl- C_{1-6} -alkyl, di- C_{1-6} -alkylaminocarbonyl- C_{1-6} - C_{1-6} alkoxy, C₁₋₆-alkylcarbonyloxy-C₁₋₆-alkyl, C₁₋₆-alkylcarbonyloxy-C₁₋₆-alkoxy, cyano-C₁₋₆-alkyl, cyano-C₁₋₆-alkoxy, 2-oxooxazolidinyl-C₁₋₆-alkyl, 2-oxooxazolidinyl-C₁₋₆-alkoxy, C₁₋₆alkoxycarbonyl- C_{1-6} -alkyl, C_{1-6} -alkoxycarbonyl- C_{1-6} -alkoxy, C_{1-6} -alkylsulphonylamino- C_{1-6} -alkoxy alkvl. C_{1-6} -alkylsulphonylamino- C_{2-7} -alkoxy, (N- C_{1-6} -alkyl)- C_{1-6} -alkylsulphonylamino- C_{1-6} -alkyl, $(N-C_{1-6}-alkyl)-C_{1-6}-alkylsulphonylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{1-6}-alkyla$ alkylamino-C₂₋₇-alkoxy, di-C₁₋₆-alkylamino-C₁₋₆-alkyl, Di-C₁₋₆-alkylamino-C₂₋₇-alkoxy, C₁₋₆alkylsulphonyl- C_{1-6} -alkyl, C_{1-6} -alkylsulphonyl- C_{1-6} -alkoxy, carboxy- C_{1-6} -alkyl, carboxy- C_{1-6} -alkylsulphonyl- C_{1-6} - C_{1-6} -alkylsulphonyl- C_{1-6} - C_{1-6} -Calkoxy, carboxy- C_{1-6} -alkoxy- C_{1-6} -alkyl, C_{1-6} -alkoxy- C_{1-6} -alkylcarbonyl, acyl- C_{1-6} -alkoxy- C_{1-6} alkyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxy-carbonylamino, (N-hydroxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆alkyl, (N-hydroxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, (N-hydroxy)aminocarbonyl-C₁₋₆-alkyl, (N-hydroxy)aminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkoxyaminocarbonyl-C₁₋₆-alkyl, 6-alkoxyaminocarbonyl-C_{1.6}-alkoxy, (N-C_{1.6}-alkoxy)-C_{1.6}-alkylaminocarbonyl-C_{1.6}-alkyl, (N-C_{1.6}alkoxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, (N-acyl)-C₁₋₆-alkoxy-C₁₋₆-alkylamino, C₁₋₆-alkoxy- C_{1-6} -alkylcarbamoyl, (N- C_{1-6} -alkyl)- C_{1-6} -alkoxy- C_{1-6} -alkylcarbamoyl, C_{1-6} -alkoxy- C_{1-6} -

alkylcarbonyl, C₁₋₆-alkoxy-C₁₋₆-alkylcarbonylamino, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxy-C₁₋₆alkylcarbonylamino, 1-C₁₋₆-alkoxy-C₁₋₆-alkylimidazol-2-yl, 1-C₁₋₆-alkoxy-C₁₋₆-alkyltetrazol-5-yl, $5-C_{1-6}$ -alkoxy- C_{1-6} -alkyltetrazol-1-yl, $2-C_{1-6}$ -alkoxy- C_{1-6} -alkyl-4-oxoimidazol-1-yl, carbamoyl- C_{1-6} -alkoxy- C_{1-6} -alkoxy- C_{1-6} -alkyl-4-oxoimidazol-1-yl, carbamoyl- C_{1-6} -alkyl-4-yl, carbamoyl- C_{1-6} - C_{1-6} -alkyl-4-yl, carbamoyl- C_{1-6} - C_{1-6} ₆-alkyl, carbamoyl-C₁₋₆-alkoxy, C₁₋₆-alkylcarbamoyl, di-C₁₋₆-alkylcarbamoyl, C₁₋₆alkylsulphonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]-triazol-1-ylalkyl, [1,2,4]-triazol-1-ylalkoxy, [1,2,4]-triazol-4ylalkyl, [1,2,4]-triazol-4-ylalkoxy, [1,2,4]-oxadiazol-5-ylalkyl, [1,2,4]-oxadiazol-5-ylalkoxy, 3methyl-[1,2,4]-oxadiazol-5-ylalkyl, 3-methyl-[1,2,4]-oxadiazol-5-ylalkoxy, 5-methyl-[1,2,4]oxadiazol-3-ylalkyl, 5-methyl-[1,2,4]-oxadiazol-3-ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkoxy, 5methyltetrazol-1-ylalkyl, 5-methyltetrazol-1-ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxopyrrolidinylalkyl, 2-oxopyrrolidinylalkoxy, imidazolylalkyl, imidazolylalkoxy, 2-methylimidazolylalkyl, 2-methylimidazolylalkoxy, Nmethylpiperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3acetamidomethylpyrrolidinyl, 3-C₁₋₆-alkoxy-C₁₋₆-alkyl-pyrrolidinyl, 4-hydroxypiperidinyl, 4oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6dimethylmorpholinyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl and 2-oxotetrahydropyrimidinyl

7. Compound of the formula

$$R^{6} \xrightarrow{X} R^{5} NR^{3}R^{4} \qquad (I)$$

where

X is methylene or hydroxymethylene; R¹ a) is hydrogen; or b) is C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkanoyl, C_1 - C_8 -alkoxycarbonyl, aryl- C_0 - C_4 -alkyl or heterocyclyl- C_0 - C_4 -alkyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, halogen, cyano, oxide, oxo, trifluoromethyl, C_1 - C_8 -alkoxy, C_1 - C_8 -alkoxycarbonyl, aryl or heterocyclyl;

 R^2 a) is C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkylsulphonyl, C_3 - C_8 -cycloalkylsulphonyl, aryl- C_0 - C_8 -alkylsulphonyl, heterocyclylsulphonyl, C_3 - C_{12} -cycloalkyl- C_1 - C_8 -alkanoyl, C_3 - C_{12} -cycloalkyl- C_3 - C_8 -cycloalkanoyl, aryl- C_1 - C_8 -alkanoyl, heterocyclyl- C_1 - C_8 -alkanoyl, aryl- C_3 - C_8 -cycloalkanoyl, C_1 - C_8 -alkoxycarbonyl, optionally N-mono or N,N-di- C_1 - C_8 -alkylated carbamoyl- C_0 - C_8 -alkyl, aryl- C_0 - C_4 -alkyl or heterocyclyl- C_0 - C_4 -alkyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_3 - C_8 -cycloalkoxy, amino, C_{1-6} -alkylamino, di- C_{1-6} -alkylamino, C_0 - C_6 -alkylcarbonylamino, halogen, cyano, hydroxyl, oxide, oxo, trifluoromethyl, C_1 - C_8 -alkoxy, optionally N-mono or N,N-di- C_1 - C_8 -alkylated carbamoyl, C_1 - C_8 -alkoxycarbonyl, C_{1-6} -alkylenedioxy, aryl or heterocyclyl; or

b) together with R₁ and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated 4-8-membered heterocyclic ring which may contain an additional nitrogen, oxygen or sulphur atom or an –SO- or –SO2- group, and the additional nitrogen atom may optionally be substituted by C₁-C₈-alkyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, aryl or heterocyclyl radicals, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 members and the second ring may also contain a nitrogen, oxygen or sulphur atom or an –SO- or –SO2- group, and the nitrogen atom of the second ring may optionally be substituted by C₁-C₈-alkyl, C₁-C₈-alkanoyl, C₁-C₈-alkoxycarbonyl, aryl or heterocyclyl radicals, and all ring systems mentioned may be substituted by 1-4 C₁-C₈-alkyl, halogen, hydroxyl, oxide, oxo, trifluoromethyl, C₁-C₈-alkoxy, C₁-C₈-alkoxy-C₁-C₈-alkoxy-C₁-C₈-alkoxy-C₁-C₈-alkoxy-C₁-C₈-alkylamino, N,N-di-C₁-C₈-alkylamino, aryl-C₀-C₄-alkyl, aryloxy-C₀-C₄-alkyl, aryl-C₀-C₄-alkyl-C₁-C₈-alkoxy, aryloxy-C₀-C₄-alkyl-C₁-C₈-alkoxy, heterocyclyl-C₀-C₄-alkyl, heterocyclyloxy-C₀-C₄-alkyl, heterocyclyloxy-C₀-C₄-alkyl-C₁-C₈-alkoxy;

 R^3 is hydrogen, C_1 - C_4 -alkyl, C_1 - C_8 -alkoxycarbonyl or C_1 - C_8 -alkanoyl; R^4 is hydrogen, C_1 - C_4 -alkyl, C_1 - C_8 -alkoxycarbonyl or C_1 - C_8 -alkanoyl;

 R^5 are each independently hydrogen, C_1 - C_8 -alkyl or, together with the carbon atom to which they are bonded, are a C_3 - C_8 -cycloalkylidene radical;

R⁶ is an unsubstituted polycyclic, unsaturated hydrocarbon radical or a polycyclic, unsaturated hydrocarbon radical which is substituted by from one to four radicals selected from C₁-

C₆-alkyl, C₃₋₈-cycloalkyl, C₃₋₈-cycloalkoxy, C₃₋₈-cycloalkoxy-C₁₋₆-alkyl, C₃₋₈-cycloalkoxy- C_{1-6} -alkoxy, C_1 - C_6 -alkylamino, di- C_1 - C_6 -alkylamino, amino- C_{1-6} -alkyl, amino- C_{2-7} -alkoxy, polyhalo-C₁₋₆-alkyl, polyhalo-C₂₋₇-alkoxy, nitro, amino, C₂-C₆-alkenyl, C₁-C₆-alkoxy, C₁-C₆-alkanoyloxy, hydroxyl, halogen, oxide, oxo, cyano, carbamoyl, carboxy, C₁-C₆alkylenedioxy, phenyl, phenoxy, phenylthio, phenyl-C₁-C₆-alkyl or phenyl-C₁-C₆-alkoxy, each of which are optionally substituted by halogen, C₁-C₆-alkyl, C₁₋₆-alkoxy, hydroxyl, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, C₁₋₆-alkoxycarbonyl, hydroxy-C₁₋₆-alkyl or trifluoromethyl, pyridylcarbonylamino-C₁₋₆-alkyl, C₂₋₇-alkenyloxy, C₁₋₆-alkoxy-C₁₋₆alkoxy, C_{1-6} -alkoxy- C_{1-6} -alkoxy- C_{1-6} -alkyl, methoxybenzyloxy, hydroxybenzyloxy, methylenedioxybenzyloxy, dioxolanyl-C₁₋₆-alkoxy, C₃₋₈-cycloalkyl-C₁₋₆-alkyl, C₃₋₈cycloalkyl-C₁₋₆-alkoxy, hydroxy-C₂₋₇-alkoxy, carbamoyloxy-C₂₋₇-alkoxy pyridylcarbamoyloxy-C₂₋₇-alkoxy, benzoyloxy-C₂₋₇-alkoxy, C₁₋₆-alkoxycarbonyl, C₁₋₆alkylcarbonylamino, C₁₋₆-alkylcarbonylamino-C₁₋₆-alkyl, C₁₋₆-alkylcarbonylamino-C₂₋₇alkoxy, (N-C₁₋₆-alkyl)-C₁₋₆-alkylcarbonylamino-C₁₋₆-alkyl, (N-C₁₋₆-alkyl)-C₁₋₆alkylcarbonylamino-C₂₋₇-alkoxy, C₃₋₈-cycloalkylcarbonylamino-C₁₋₆-alkyl, C₃₋₈cycloalkylcarbonylamino-C₂₋₇-alkoxy, C₁₋₆-alkoxy-C₁₋₆-alkyl, hydroxy-C₁₋₆-alkyl, hydroxy- C_{2-7} -alkoxy- C_{1-6} -alkyl, hydroxy- C_{2-7} -alkoxy- C_{1-6} -alkoxy, C_{1-6} -alkoxycarbonylamino- C_{1-6} alkyl, C_{1-6} -alkoxycarbonylamino- C_{2-7} -alkoxy, C_{1-6} -alkylaminocarbonylamino- C_{1-6} -alkyl, C₁₋₆-alkylaminocarbonylamino-C₂₋₇-alkoxy, C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkyl, C₁₋₆alkylaminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy-C₁₋₆-alkyl, di-C₁₋₆alkylaminocarbonyl-C₁₋₆-alkyl, di-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, C₁₋₆alkylcarbonyloxy-C₁₋₆-alkyl, C₁₋₆-alkylcarbonyloxy-C₂₋₆-alkoxy, cyano-C₁₋₆-alkyl, cyano- C_{1-6} -alkoxy, 2-oxooxazolidinyl- C_{1-6} -alkyl, 2-oxo-oxazolidinyl- C_{1-6} -alkoxy, C_{1-6} alkoxycarbonyl- C_{1-6} -alkyl, C_{1-6} -alkoxycarbonyl- C_{1-6} -alkoxy, C_{1-6} -alkylsulphonylamino- C_{1-6} $_{6}$ -alkyl, C_{1-6} -alkylsulphonylamino- C_{2-7} -alkoxy, (N- C_{1-6} -alkyl)- C_{1-6} -alkylsulphonylamino- C_{1-6} -alk ₆-alkyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkylsulphonylamino-C₂₋₇-alkoxy, C₁₋₆-alkylamino-C₁₋₆-alkyl, C_{1-6} -alkylamino- C_{2-7} -alkoxy, di- C_{1-6} -alkylamino- C_{1-6} -alkyl, di- C_{1-6} -alkylamino- C_{2-7} -alkoxy, C_{1-6} -alkylsulphonyl- C_{1-6} -alkyl, C_{1-6} -alkylsulphonyl- C_{1-6} -alkoxy, carboxy- C_{1-6} -alkyl, carboxy-C₁₋₆-alkoxy, carboxy-C₁₋₆-alkoxy C₁₋₆-alkoxy-C₁₋₆-alkyl, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxycarbonylamino, (N-hydroxy)-C₁₋₆alkylaminocarbonyl-C₁₋₆-alkyl, (N-hydroxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, (Nhydroxy)aminocarbonyl-C₁₋₆-alkyl, (N-hydroxy)aminocarbonyl-C₁₋₆-alkoxy, C₁₋₆-alkoxyaminocarbonyl-C₁₋₆-alkyl, 6-alkoxyaminocarbonyl-C₁₋₆-alkoxy, (N-C₁₋₆-alkoxy)-C₁₋₆alkylaminocarbonyl-C₁₋₆-alkyl, (N-C₁₋₆-alkoxy)-C₁₋₆-alkylaminocarbonyl-C₁₋₆-alkoxy, (Nacyl)- C_{1-6} -alkoxy- C_{1-6} -alkylamino, C_{1-6} -alkoxy- C_{1-6} -alkylcarbamoyl, (N- C_{1-6} -alkyl)- C_{1-6} -alkyl

alkoxy-C₁₋₆-alkylcarbamoyl, C₁₋₆-alkoxy-C₁₋₆-alkylcarbonyl, C₁₋₆-alkoxy-C₁₋₆alkylcarbonylamino, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxy-C₁₋₆-alkylcarbonylamino, 1-C₁₋₆-alkoxy- C_{1-6} -alkylimidazol-2-yl, 1- C_{1-6} -alkoxy- C_{1-6} -alkyltetrazol-5-yl, 5- C_{1-6} -alkoxy- C_{1-6} alkyltetrazol-1-yl, 2-C₁₋₆-alkoxy-C₁₋₆-alkyl-4-oxoimidazol-1-yl, carbamoyl-C₁₋₆-alkyl, carbamoyl- C_{1-6} -alkylcarbamoyl, di- C_{1-6} -alkylcarbamoyl, C_{1-6} -alkylsulphonyl, C₁₋₆-alkylamidinyl, acetamidinyl-C₁₋₆-alkyl, O-methyloximyl-C₁₋₆-alkyl, O,Ndimethylhydroxylamino-C₁₋₆-alkyl, C₃₋₆-cycloalkyl-C₁₋₆-alkanoyl, aryl-C₁₋₆-alkanoyl or heterocyclyl-C₁₋₆-alkanoyl, or else pyridyl, pyridyloxy, pyridylthio, pyridylamino, pyridyl-C₁₋₆-alkyl, pyridyl-C₁₋₆-alkoxy, pyrimidinyl, pyrimidinyloxy, pyrimidinylthio, pyrimidinylamino, pyrimidinyl- C_{1-6} -alkyl, pyrimidinyl- C_{1-6} -alkoxy, thienyl, thienyl- C_{1-6} -alkyl, pyrimidinyl- C_{1-6} -alkoxy, thienyl- C_{1-6} -alkyl, pyrimidinyl- C_{1-6} -alkyl, pyrimidinyl- C_{1-6} -alkoxy, thienyl- C_{1-6} -alkyl, pyrimidinyl- C_{1-6} -alky alkyl, thienyl- C_{1-6} -alkoxy, furyl, furyl- C_{1-6} -alkyl or furyl- C_{1-6} -alkoxy, each of which is optionally substituted by halogen, C₁₋₆-alkyl, C₁₋₆-alkoxy or dihydroxy-C₁₋₆alkylaminocarbonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]-triazol-1-ylalkyl, [1,2,4]-triazol-1ylalkoxy, [1,2,4]-triazol-4-ylalkyl, [1,2,4]-triazol-4-ylalkoxy, [1,2,4]-oxadiazol-5-ylalkyl, [1,2,4]-oxadiazol-5-ylalkoxy, 3-methyl-[1,2,4]-oxadiazol-5-ylalkyl, 3-methyl-[1,2,4]oxadiazol-5-ylalkoxy, 5-methyl-[1,2,4]-oxadiazol-3-ylalkyl, 5-methyl-[1,2,4]-oxadiazol-3ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1-ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5-methyl-tetrazol-1-ylalkyl, 5-methyl-tetrazol-1ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxopyrrolidinylalkyl, 2-oxo-pyrrolidinylalkoxy, imidazolylalkyl, imidazolylalkoxy, 2-methylimidazolylalkyl, 2-methyl-imidazolylalkoxy, N-methylpiperazinoalkyl, Nmethylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3.4dihydroxypyrrolidinyl, 3-acetamidomethylpyrrolidinyl, 3-C₁₋₆-alkoxy-C₁₋₆-alkylpyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl, 2-oxotetrahydropyrimidinyl and the -O-CH₂CH(OH)CH₂NR_x radical where NR_x is a mono- or di-C₁₋₆-alkylamino, piperidino, morpholino, piperazino or N-methylpiperazino radical;

or a prodrug thereof, which, on *in vivo* application, release a compound of formula (I) by a chemical or physiological process,

or in which one or more atoms have been replaced by their stable, non-radioactive isotopes, or a salt thereof.

- 8. Compound according to one of Claims 1 to 6 for use in a method for the therapeutic treatment of the human or animal body.
- 9. Pharmaceutical preparation comprising, as an active pharmaceutical ingredient, a compound according to one of Claims 1 to 6 in free form or as a pharmaceutically usable salt.
- 10. Use of a compound according to one of Claims 1 to 7 for preparing a medicament for the treatment or prevention of hypertension, heart failure, glaucoma, myocardial infarction, kidney failure or restenoses.
- 11. Use according to Claim 10, characterized in that the preparation is effected additionally with one or more agents having cardiovascular action, for example α and β -blockers such as phentolamine, phenoxybenzamine, prazosin, terazosin, tolazine, atenolol, metoprolol, nadolol, propranolol, timolol, carteolol etc.; vasodilators such as hydralazine, minoxidil, diazoxide, nitroprusside, flosequinan etc.; calcium antagonists such as amrinone, bencyclan, diltiazem, fendiline, flunarizine, nicardipine, nimodipine, perhexilene, verapamil, gallopamil, nifedipine etc.; ACE inhibitors such as cilazapril, captopril, enalapril, lisinopril etc.; potassium activators such as pinacidil; anti-serotoninergics such as ketanserin; thromboxanesynthetase inhibitors; neutral endopeptidase inhibitors (NEP inhibitors); angiotensin II antagonists; and also diuretics such as hydrochlorothiazide, chlorothiazide, acetazolamide, amiloride, bumetanide, benzthiazide, ethacrynic acid, furosemide, indacrinone, metolazone, spironolactone, triamteren, chlorthalidone etc.; sympatholytics such as methyldopa, clonidine, guanabenz, reserpine; and other agents which are suitable for the treatment of hypertension, heart failure or vascular diseases in humans and animals which are associated with diabetes or renal disorders such as acute or chronic renal failure.
- 12. Method for the treatment or prevention of hypertension, heart failure, glaucoma, myocardial infarction, kidney failure or restenses, characterized in that the human or animal body is treated with an effective amount of a compound according to one of Claims 1 to 7.

imidazolylalkyl, 2-methyl-imidazolylalkoxy, N-methylpiperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3-acetamidomethylpyrrolidinyl, 3-C₁₋₆-alkoxy-C₁₋₆-alkyl-pyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, 2-oxo-imidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl, 2-oxotetrahydropyrimidinyl and the –O-CH₂CH(OH)CH₂NR_x radical where NR_x is a mono- or di-C₁₋₆-alkylamino, piperidino, morpholino, piperazino or N-methylpiperazino radical; or

(B) R^6 is phenyl substituted by C_1 - C_6 -alkylenedioxy, furyl, thienyl, pyridyl, pyrimidyl, indolyl, quinolinyl, pyrazinyl, triazolyl, imidazolyl, benzothiazolyl, pyranyl, tetrahydropyranyl, azetidinyl, morpholinyl, tetrahydroquinolyl, tetrahydroisoquinolyl, quinazolinyl, quinoxalinyl, isoquinolyl, benzo[b]thienyl, isobenzofuranyl, benzoimidazolyl, 2oxobenzoimidazolyl, oxazolyl, thiazolyl, pyrrolyl, pyrazolyl, triazinyl, dihydrobenzofuranyl, 2-oxodihydrobenzo [d][1,3]oxazinyl, 4-oxodihydroimidazolyl, 5oxo-4H[1,2,4]triazinyl, 3-oxo-4H-benzo [1,4]thiazinyl, tetrahydroquinoxalinyl, 1,1,3trioxodihydro-2H- $1\lambda^6$ -benzo[1,4]thiazinyl, 1-oxopyridyl, dihydro-3Hbenzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 2-oxotetrahydrobenzo[e][1,4]diazepinyl, 2-oxodihydrobenzo[e][1,4]diazepinyl, 1Hpyrrolizinyl, phthalazinyl, 1-oxo-3H-isobenzofuranyl, 4-oxo-3H-thieno[2,3-d] pyrimidinyl, 3-oxo-4H-benzo[1,4]oxazinyl, [1,5]naphthyridyl, dihydro-2H-benzo [1,4]thiazinyl, 1,1-dioxodihydro-2H-benzo[1,4]thiazinyl, 2-oxo-1H-pyrido[2,3-b] [1,4]oxazinyl, dihydro-1H-pyrido[2,3-b][1,4]oxazinyl, 1H-pyrrolo[2,3-b]pyridyl, benzo [1,3]dioxolyl, benzooxazolyl, 2-oxobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl, benzofuranyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, tetrahydropyranyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopiperidinyl, 2-oxopyrrolidinyl, 2-oxo[1,3]oxazinyl, 2-oxoazepanyl, or 2-oxotetrahydropyrimidinyl;

chemical or physiological process.

or in which one or more atoms have been replaced by their stable, non-radioactive isotopes, or a salt thereof.

2. Compound according to Claim 1, characterized in that it corresponds to the formula (la)

$$R^6$$
 X
 R^5
 NR^3R^4
(Ia)

where the substituents are each as defined in Claim 1.

3. Compound according to Claim 1 or 2, in which

 R^2 is C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkylsulphonyl, C_3 - C_8 -cycloalkylsulphonyl, aryl- C_0 - C_8 -alkylsulphonyl, C_3 - C_{12} -cycloalkyl- C_1 - C_8 -alkanoyl, C_3 - C_{12} -cycloalkyl- C_3 - C_8 -cycloalkyl- C_3 - C_8 -cycloalkanoyl, aryl- C_1 - C_8 -alkanoyl, heterocyclyl- C_1 - C_8 -alkanoyl, C_1 - C_8 -alkanoyl or aryl- C_0 - C_4 -alkyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_3 - C_8 -cycloalkoxy, C_0 - C_6 -alkylcarbonylamino, halogen, cyano, hydroxyl, oxide, trifluoromethyl, C_1 - C_8 -alkoxy or optionally N-mono- or N,N-di- C_1 - C_8 -alkylated carbamoyl.

- 4. Compound according to Claim 1 or 2, in which
- R¹ a) is hydrogen; or
 - b) is C₁-C₈-alkyl or C₃-C₈-cycloalkyl;
- R^2 a) is C_1 - C_8 -alkyl, C_3 - C_8 -cycloalkyl, C_1 - C_8 -alkanoyl, heterocyclyl- C_1 - C_8 -alkanoyl, C_3 - C_{12} -cycloalkyl- C_1 - C_8 -alkanoyl or aryl- C_1 - C_8 -alkanoyl, which radicals may be substituted by 1-4 C_1 - C_8 -alkyl, C_1 - C_8 -alkylamino, cyano, halogen, hydroxyl, C_1 - C_8 -alkanoylamino, C_1 - C_8 -alkoxy, oxide, oxo, trifluoromethyl or aryl; or
- b) together with R^1 and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated, 4-8-membered heterocyclic ring which may contain an additional nitrogen or oxygen atom, in which case the additional nitrogen atom may optionally be substituted by C_1 - C_8 -alkyl or C_1 - C_8 -alkanoyl, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 ring members and the second ring may also contain a nitrogen or oxygen atom, and the nitrogen atom of the second ring may optionally be substituted by C_1 - C_8 -alkyl or C_1 - C_8 -alkanoyl, and all ring systems mentioned may be

alkylcarbonyl, C_{1-6} -alkoxy- C_{1-6} -alkylcarbonylamino, (N- C_{1-6} -alkyl)- C_{1-6} -alkoxy- C_{1-6} -alkoxy- C_{1-6} -alkoxy- C_{1-6} -alkylcarbonylamino, (N- C_{1-6} -alkyl) alkylcarbonylamino, 1-C₁₋₆-alkoxy-C₁₋₆-alkylimidazol-2-yl, 1-C₁₋₆-alkoxy-C₁₋₆-alkyltetrazol-5-yl, 5-C₁₋₆-alkoxy-C₁₋₆-alkyltetrazol-1-yl, 2-C₁₋₆-alkoxy-C₁₋₆-alkyl-4-oxoimidazol-1-yl, carbamoyl-C₁₋₆ ₆-alkyl, carbamoyl-C₁₋₆-alkoxy, C₁₋₆-alkylcarbamoyl, di-C₁₋₆-alkylcarbamoyl, C₁₋₆alkylsulphonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]-triazol-1-ylalkyl, [1,2,4]-triazol-1-ylalkoxy, [1,2,4]-triazol-4ylalkyl, [1,2,4]-triazol-4-ylalkoxy, [1,2,4]-oxadiazol-5-ylalkyl, [1,2,4]-oxadiazol-5-ylalkoxy, 3methyl-[1,2,4]-oxadiazol-5-ylalkyl, 3-methyl-[1,2,4]-oxadiazol-5-ylalkoxy, 5-methyl-[1,2,4]oxadiazol-3-ylalkyl, 5-methyl-[1,2,4]-oxadiazol-3-ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5methyltetrazol-1-ylalkyl, 5-methyltetrazol-1-ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxopyrrolidinylalkyl, 2-oxopyrrolidinylalkoxy, imidazolylalkyl, imidazolylalkoxy, 2-methylimidazolylalkyl, 2-methylimidazolylalkoxy, Nmethylpiperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3acetamidomethylpyrrolidinyl, 3-C₁₋₆-alkoxy-C₁₋₆-alkyl-pyrrolidinyl, 4-hydroxypiperidinyl, 4oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2.6dimethylmorpholinyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl and 2-oxotetrahydropyrimidinyl

7. Compound of the formula

$$R^{6} \xrightarrow{X} R^{5} NR^{3}R^{4} NR^{1}R^{2}$$
(I)

where

X is methylene or hydroxymethylene; R¹ a) is hydrogen; or

. 1

alkoxy-C₁₋₆-alkylcarbamoyl, C₁₋₆-alkoxy-C₁₋₆-alkylcarbonyl, C₁₋₆-alkoxy-C₁₋₆alkylcarbonylamino, (N-C₁₋₆-alkyl)-C₁₋₆-alkoxy-C₁₋₆-alkylcarbonylamino, 1-C₁₋₆-alkoxy-C₁₋₆-alkylimidazol-2-yl, 1-C₁₋₆-alkoxy-C₁₋₆-alkyltetrazol-5-yl, 5-C₁₋₆-alkoxy-C₁₋₆alkyltetrazol-1-yl, 2-C_{1.6}-alkoxy-C_{1.6}-alkyl-4-oxoimidazol-1-yl, carbamoyl-C_{1.6}-alkyl, carbamoyl-C_{1.6}-alkoxy, C_{1.6}-alkylcarbamoyl, di-C_{1.6}-alkylcarbamoyl, C_{1.6}-alkylsulphonyl, C₁₋₆-alkylamidinyl, acetamidinyl-C₁₋₆-alkyl, O-methyloximyl-C₁₋₆-alkyl, O,Ndimethylhydroxylamino-C₁₋₆-alkyl, C₃₋₆-cycloalkyl-C₁₋₆-alkanoyl, aryl-C₁₋₆-alkanoyl or heterocyclyl-C₁₋₆-alkanoyl, or else pyridyl, pyridyloxy, pyridylthio, pyridylamino, pyridyl-C₁₋₆-alkyl, pyridyl-C₁₋₆-alkoxy, pyrimidinyl, pyrimidinyloxy, pyrimidinylthio, pyrimidinylamino, pyrimidinyl-C₁₋₆-alkyl, pyrimidinyl-C₁₋₆-alkoxy, thienyl, thienyl-C₁₋₆alkyl, thienyl-C₁₋₆-alkoxy, furyl, furyl-C₁₋₆-alkyl or furyl-C₁₋₆-alkoxy, each of which is optionally substituted by halogen, C₁₋₆-alkyl, C₁₋₆-alkoxy or dihydroxy-C₁₋₆alkylaminocarbonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]-triazol-1-ylalkyl, [1,2,4]-triazol-1ylalkoxy, [1,2,4]-triazol-4-ylalkyl, [1,2,4]-triazol-4-ylalkoxy, [1,2,4]-oxadiazol-5-ylalkyl, [1,2,4]-oxadiazol-5-ylalkoxy, 3-methyl-[1,2,4]-oxadiazol-5-ylalkyl, 3-methyl-[1,2,4]oxadiazol-5-ylalkoxy, 5-methyl-[1,2,4]-oxadiazol-3-ylalkyl, 5-methyl-[1,2,4]-oxadiazol-3ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1-ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5-methyl-tetrazol-1-ylalkyl, 5-methyl-tetrazol-1ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxopyrrolidinylalkyl, 2-oxo-pyrrolidinylalkoxy, imidazolylalkyl, imidazolylalkoxy, 2-methylimidazolylalkyl, 2-methyl-imidazolylalkoxy, N-methylpiperazinoalkyl, Nmethylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4dihydroxypyrrolidinyl, 3-acetamidomethylpyrrolidinyl, 3-C₁₋₆-alkoxy-C₁₋₆-alkylpyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl, 2-oxotetrahydropyrimidinyl and the -O-CH₂CH(OH)CH₂NR_x radical where NR_x is a mono- or di-C₁₋₆-alkylamino, piperidino, morpholino, piperazino or N-methylpiperazino radical;

or a prodrug thereof, which, on in vivo application, release a compound of formula (I) by a chemical or physiological process.

or in which one or more atoms have been replaced by their stable, non-radioactive isotopes, or a salt thereof.

- **8**. Compound according to one of Claims 1 to 6 for use in a method for the therapeutic treatment of the human or animal body.
- Pharmaceutical preparation comprising, as an active pharmaceutical ingredient, a compound according to one of Claims 1 to 6 in free form or as a pharmaceutically usable salt.
- 10. Use of a compound according to one of Claims 1 to 7 for preparing a medicament for the treatment or prevention of hypertension, heart failure, glaucoma, myocardial infarction, kidney failure or restenoses.
- With one or more agents having cardiovascular action, for example α and β -blockers such as phentolamine, phenoxybenzamine, prazosin, terazosin, tolazine, atenolol, metoprolol, nadolol, propranolol, timolol, carteolol etc.; vasodilators such as hydralazine, minoxidil, diazoxide, nitroprusside, flosequinan etc.; calcium antagonists such as amrinone, bencyclan, diltiazem, fendiline, flunarizine, nicardipine, nimodipine, perhexilene, verapamil, gallopamil, nifedipine etc.; ACE inhibitors such as cilazapril, captopril, enalapril, lisinopril etc.; potassium activators such as pinacidil; anti-serotoninergics such as ketanserin; thromboxanesynthetase inhibitors; neutral endopeptidase inhibitors (NEP inhibitors); angiotensin II antagonists; and also diuretics such as hydrochlorothiazide, chlorothiazide, acetazolamide, amiloride, bumetanide, benzthiazide, ethacrynic acid, furosemide, indacrinone, metolazone, spironolactone, triamteren, chlorthalidone etc.; sympatholytics such as methyldopa, clonidine, guanabenz, reserpine; and other agents which are suitable for the treatment of hypertension, heart failure or vascular diseases in humans and animals which are associated with diabetes or renal disorders such as acute or chronic renal failure.

Method for the treatment or prevention of hypertension, heart failure, glaucoma, myocardial infarction, kidney failure or restenoses, characterized in that the human or animal body is treated with an effective amount of a compound according to one of Claims 1 to $\overline{\mathbb{Q}}$.